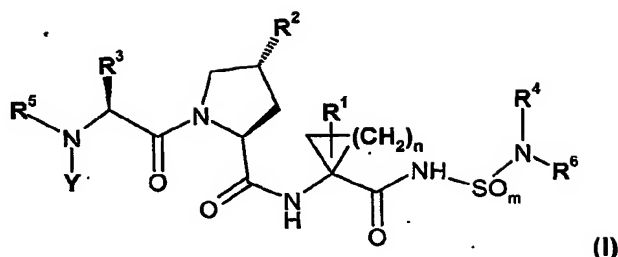


What is claimed is:

1. A compound of formula I:



5 wherein

n is 1 or 2;

m is 1 or 2:

R¹ is H, (C₁₋₆)alkyl, (C₂₋₆)alkenyl, or (C₂₋₆)alkynyl, wherein each of said (C₁₋₆)alkyl, (C₂₋₆)alkenyl, or (C₂₋₆)alkynyl are optionally substituted with from one to three halogen atoms;

R² is selected from -CH₂-R²⁰, -NH-R²⁰, -O-R²⁰, -S-R²⁰, -SO-R²⁰, -SO₂-R²⁰, -CH₂O-R²⁰, and -O-X-R²⁰, wherein

X is (C₂₋₃)alkenyl, (C₂₋₃)alkynyl, or (C₁₋₃)alkyl; and

R²⁰ is (C₆ or C₁₀)aryl or **Het**, wherein said (C₆ or C₁₀)aryl or **Het** is optionally substituted with R²⁰⁰; wherein

R²⁰⁰ is one to four substituents each independently selected from H, halogen, cyano, (C₁₋₆)alkyl, (C₃₋₇)cycloalkyl, aryl-(C₁₋₆)alkyl-, aryl, **Het**, oxo, thioxo, -OR²⁰¹, -SR²⁰¹, -SOR²⁰¹, -SO₂R²⁰¹, -N(R²⁰²)R²⁰¹, and -CON(R²⁰²)R²⁰¹; wherein each of said alkyl, cycloalkyl, aryl and **Het** is optionally further substituted with p2000.

R²⁰¹ in each case is independently selected from H, (C₁₋₆)alkyl, (C₂₋₆)alkenyl, aryl, -CO-(C₁₋₆)alkyl and -CO-O-(C₁₋₆)alkyl, wherein each of said alkyl and aryl is optionally further substituted with R²⁰⁰⁰.

R²⁰² in each case is independently selected from H and (C₁₋₆)alkyl;

R²⁰⁰⁰ in each case is one to three substituents each independently selected from halogen, aryl, **Het**, -OR²⁰⁰¹, -SR²⁰⁰¹, -SOR²⁰⁰¹, -SO₂R²⁰⁰¹, cyano, -N(R²⁰⁰²)(R²⁰⁰¹), and R²⁰⁰³, wherein said aryl

and Het are optionally substituted with one, two or three substituents each independently selected from (C₁₋₆)alkyl and -O-(C₁₋₆)alkyl;

R²⁰⁰¹ in each case is independently selected from aryl, aryl-(C₁₋₆)alkyl-,
5 -C(O)-R²⁰⁰³, -C(O)O-R²⁰⁰³, -CON(R²⁰⁰²XR²⁰⁰⁴) and R²⁰⁰⁴;

R²⁰⁰² in each case is independently selected from H and (C₁₋₆)alkyl;

R²⁰⁰³ in each case is independently selected from (C₁₋₈)alkyl,
(C₃₋₇)cycloalkyl and (C₃₋₇)cycloalkyl-(C₁₋₄)alkyl-, wherein said
(C₃₋₇)cycloalkyl and (C₃₋₇)cycloalkyl-(C₁₋₄)alkyl- are each
10 optionally substituted with one to three substituents each
independently selected from (C₁₋₃)alkyl; and

R²⁰⁰⁴ in each case is independently selected from H and R²⁰⁰³;

R³ is (C₁₋₈)alkyl, (C₃₋₇)cycloalkyl or (C₃₋₇)cycloalkyl-(C₁₋₃)alkyl-, each
optionally substituted with one or more substituents each
15 independently selected from (C₁₋₆)alkyl, (C₂₋₆)alkenyl, halogen, cyano,
-OR³⁰, -SR³⁰, -C(=O)OR³⁰, -C(=O)NH₂, -C(=O)NH(C₁₋₆)alkyl,
-C(=O)N((C₁₋₆)alkyl)₂, -NH₂, -NH(C₁₋₆)alkyl, -N((C₁₋₆)alkyl)₂, aryl, and
aryl(Ci₁₋₆)alkyl-, wherein R³⁰ is H, (C₁₋₆)alkyl, aryl, or aryl(Ci₁₋₆)alkyl-;

R⁵ is selected from B, B-C(=O)-, B-O-C(=O)-, B-N(R⁵¹)-C(=O)-;
20 B-N(R⁵¹)-C(=S)-, B-SO₂- and B-N(R⁵¹)-SO₂-; wherein B is selected
from:

- (i) (C₁₋₁₀)alkyl optionally substituted with one or more substituents
each selected independently from -COOH, -COO^{alkyl},
-OH, halogen, -OC(=O)(C₁₋₆)alkyl, -O(C₁₋₆)alkyl, -NH₂,
25 -NH(C₁₋₆)alkyl, -N((C₁₋₆)alkyl)₂, -C(=O)NH₂, -C(=O)NH(C₁₋₆)alkyl
and -C(=O)N((C₁₋₆)alkyl)₂;
- (ii) (C₃₋₇)cycloalkyl, or (C₃₋₇)cycloalkyl-(C₁₋₄)alkyl-, each optionally
substituted with one or more substituents each selected
independently from (Ci₁₋₆)alkyl, halogen, -COOH,
30 -COO(C₁₋₆)alkyl, -OH, -O(C₁₋₆)alkyl, -NH₂, -NH(C₁₋₆)alkyl,
-N((C₁₋₆)alkyl)₂, -C(=O)NH₂, -C(=O)NH(C₁₋₆)alkyl and
-C(=O)N((C₁₋₆)alkyl)₂;
- (iii) aryl or aryl(Ci₁₋₆)alkyl-, each optionally substituted with one or
more substituents each selected independently from (Ci₁₋₆)alkyl,

-OH, -NH₂, -NH(C₁₋₆)alkyl, -N((C₁₋₆)alkyl)₂, -C(=O)NH₂,
-C(=O)NH(C₁₋₆)alkyl and -C(=O)N((C₁₋₆)alkyl)₂;

(iv) **Het** or Het-(C₁₋₆)alkyl-, each optionally substituted with one or more substituents each selected independently from (C₁₋₆)alkyl,

5

-OH, -NH₂, -NH(C₁₋₆)alkyl, -N((C₁₋₆)alkyl)₂, -C(=O)NH₂,
-C(=O)NH(C₁₋₆)alkyl and -C(=O)N((C₁₋₆)alkyl)₂; and

(v) (C₂₋₆)alkenyl, or (C₂₋₆)alkynyl, each optionally substituted with 1 to 3 halogens; and wherein

R⁵¹ is selected from H and (C₁₋₆)alkyl;

10

Y is H or (C₁₋₆)alkyl;

R⁴ and R⁶ are each independently selected from H, (C₁₋₆)alkyl, -O-(C₁₋₆)alkyl, (C₃₋₇)cycloalkyl, (C₃₋₇)cycloalkyl-(C₁₋₆)alkyl-, aryl, **Het**, and aryl-(C₁₋₆)alkyl-; wherein said (C₁₋₆)alkyl, -O-(C₁₋₆)alkyl, (C₃₋₇)cycloalkyl, (C₃₋₇)cycloalkyl-(C₁₋₆)alkyl-, aryl and aryl-(C₁₋₆)alkyl- are each optionally substituted with one or more substituents each independently selected from halogen, (C₁₋₆)alkyl, hydroxy, cyano, O-(C₁₋₆)alkyl, -NH₂, -NH(C₁₋₄)alkyl, -N((C₁₋₄)alkyl)₂, -CO-NH₂, -CO-NH(C₁₋₄)alkyl, -CO-N((C₁₋₄)alkyl)₂, -COOH, and -COO(C₁₋₆)alkyl; or

15

R⁴ and R⁶ are linked, together with the nitrogen to which they are bonded, to form a 3- to 7-membered monocyclic saturated or unsaturated heterocycle optionally fused to at least one other cycle to form a heteropolycycle, each of said heterocycle and heteropolycycle optionally containing from one to three additional heteroatoms each independently selected from N, S and O, and each of said heterocycle and heteropolycycle being optionally substituted with one or more substituents each independently selected from halogen, (C₁₋₆)alkyl, hydroxy, cyano, O-(C₁₋₆)alkyl, -NH₂, -NH(C₁₋₄)alkyl, -N((C₁₋₄)alkyl)₂, -CO-NH₂, -CO-NH(C₁₋₄)alkyl, -CO-N((C₁₋₄)alkyl)₂, -COOH, and -COO(C₁₋₆)alkyl;

25

30

with the proviso that when:

R⁵ is B-O-C(=O)- or B-N(R⁵¹)-C(=O)-, wherein

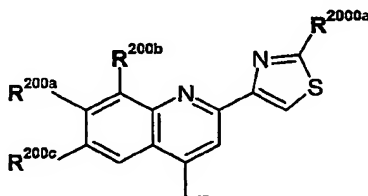
R⁵¹ is H; and

B is selected from (C₁₋₆)alkyl, (C₃₋₇)cycloalkyl, and (C₃₋₇)cycloalkyl-(C₁₋₄)alkyl,

- a) wherein said alkyl, cycloalkyl, and cycloalkyl-alkyl are optionally mono-, di- or tri-substituted with (d₃)alkyl; and
- b) wherein said alkyl, cycloalkyl, and cycloalkyl-alkyl are optionally mono- or di-substituted with substituents selected from hydroxy and O-(C₁₋₄)alkyl; and
- c) wherein each of said alkyl groups may be mono-, di- or tri-substituted with halogen; and
- d) wherein in each of said cycloalkyl groups being 4-, 5-, 6- or 7-membered, one (for the 4-, 5-, 6-, or 7-membered) or two (for the 5-, 6- or 7-membered) -CH₂-groups not directly linked to each other may be replaced by -O- to provide a heterocycle, such that the O-atom is linked to the -O-C(=O) or -N(R⁵¹)-C(=O) group via at least two carbon atoms; and

R² is O-R²⁰; then

R²⁰ cannot be



wherein

R^{200a} is H, halogen, (C₁₋₄)alkyl, -OH, -O-(C₁₋₄)alkyl, -NH₂, -NH(C₁₋₄)alkyl or -N((C₁₋₄)alkyl)₂;

R^{200b}, R^{200c} are each independently halogen, cyano, (C₁₋₄)alkyl, -O-(C₁₋₄)alkyl, -S-(C₁₋₄)alkyl, -SO-(C₁₋₄)alkyl, or -SO₂-(C₁₋₄)alkyl, wherein each of said alkyl groups is optionally substituted with from one to three halogen atoms; and either R^{200b} or R^{200c} (but not both at the same time) may also be H; or

R^{200a} and R^{200b} or

R^{200a} and R^{200c} may be covalently bonded to form, together with the two C-atoms to which they are linked, a 5- or 6-membered carbocyclic ring wherein one or two -CH₂-groups not being directly linked to each other may be replaced each independently by -O- or NR^a wherein R^a is H or (C₁₋₄)alkyl, and

wherein said carbo- or heterocyclic ring is optionally mono- or di-substituted with (C₁₋₄)alkyl; and

R^{2000} is R^{2003} , $-N(R^{2002})COR^{2003}$, $-N(R^{2002})COOR^{2003}$, $-N(R^{2002})(R^{2004})$, or $-N(R^{2002})CON(R^{2002})(R^{2004})$, wherein

5

R^{2002} is H or methyl;

R^{2003} is (C₁₋₈)alkyl, (C₃₋₇)cycloalkyl or (C₃₋₇)cycloalkyl-(C₁₋₄)alkyl-,

wherein said (C₃₋₇)cycloalkyl and (C₃₋₇)cycloalkyl-(C₁₋₄)alkyl- are optionally mono-, di-, or tri-substituted with (C₁₋₃)alkyl; and

R^{2004} is H or R^{2003} ;

10

wherein Het is defined as a 3- to 7-membered heterocycle having 1 to 4 heteroatoms each independently selected from O, N and S, which may be saturated, unsaturated or aromatic, and which is optionally fused to at least one other cycle to form a 4- to 14-membered heteropolycycle having wherever possible 1 to 5 heteroatoms, each independently selected from O, N and S, said heteropolycycle being saturated, unsaturated or aromatic; or a diastereomer thereof or a salt thereof.

15

2. The compound according to claim 1 wherein

n is 1 or 2;

20

m is 1 or 2;

R^1 is H, (C₁₋₆)alkyl, (C₂₋₆)alkenyl, or (C₂₋₆)alkynyl, wherein each of said (C₁₋₆)alkyl, (C₂₋₆)alkenyl, or (C₂₋₆)alkynyl are optionally substituted with from one to three halogen atoms;

R^2 is selected from $-CH_2-R^{20}$, $-NH-R^{20}$, $-O-R^{20}$, $-S-R^{20}$, $-SO-R^{20}$, $-SO_2-R^{20}$, $-CH_2O-R^{20}$, and $-O-X-R^{20}$, wherein

25

X is (C₂₋₃)alkenyl, (C₂₋₃)alkynyl, or (C₁₋₃)alkyl; and

R^{20} is (C₆ or Cio)aryl or Het, wherein said (C₆ or Cio)aryl or Het is optionally substituted with R^{200} ; wherein

30

R^{200} is one to four substituents each independently selected from H, halogen, cyano, (C₁₋₆)alkyl, (C₃₋₇)cycloalkyl, aryl-(C₁₋₆)alkyl-, aryl, Het, oxo, thioxo, $-OR^{201}$, $-SR^{201}$, $-SOR^{201}$, $-SO_2R^{201}$, $-N(R^{202})R^{201}$, and $-CON(R^{202})R^{201}$; wherein each of said alkyl, cycloalkyl, aryl and Het is optionally further substituted with R^{2000} .

- R^{201} in each case is independently selected from H, (C_{1-6}) alkyl, (C_{2-6}) alkenyl, aryl, $-\text{CO}-(C_{1-6})$ alkyl and $-\text{CO}-O-(C_{1-6})$ alkyl, wherein each of said alkyl and aryl is optionally further substituted with R^{2000} ;
- 5 R^{202} in each case is independently selected from H and (C_{1-6}) alkyl;
 R^{2000} in each case is one to three substituents each independently selected from halogen, aryl, **Het**, $-\text{OR}^{2001}$, $-\text{SR}^{2001}$, $-\text{SOR}^{2001}$, $-\text{SO}_2\text{R}^{2001}$, cyano, $-\text{N}(\text{R}^{2002})(\text{R}^{2001})$, and R^{2003} , wherein said aryl and **Het** are optionally substituted with one, two or three substituents each independently selected from (C_{1-6}) alkyl and $-\text{O}-(C_{1-6})$ alkyl;
- 10 R^{2001} in each case is independently selected from aryl, aryl- (C_{1-6}) alkyl-, $-\text{C}(\text{O})-\text{R}^{2003}$, $-\text{C}(\text{O})\text{O}-\text{R}^{2003}$, $-\text{CON}(\text{R}^{2002}\text{XR}^{2004})$ and R^{2004} ;
 R^{2002} in each case is independently selected from H and (C_{1-6}) alkyl;
- 15 R^{2003} in each case is independently selected from (C_{1-8}) alkyl, (C_{3-7}) cycloalkyl and (C_{3-7}) cycloalkyl- (C_{1-4}) alkyl-, wherein said (C_{3-7}) cycloalkyl and (C_{3-7}) cycloalkyl- (C_{1-4}) alkyl- are each optionally substituted with one to three substituents each independently selected from (C_{1-3}) alkyl; and
- 20 R^{2004} in each case is independently selected from H and R^{2003} ;
- R^3 is (C_{1-8}) alkyl, (C_{3-7}) cycloalkyl or (C_{3-7}) cycloalkyl- (C_{1-3}) alkyl-, each optionally substituted with one or more substituents each independently selected from (C_{1-6}) alkyl, (C_{2-6}) alkenyl, halogen, cyano, $-\text{OR}^{30}$, $-\text{SR}^{30}$, $-\text{C}(=\text{O})\text{OR}^{30}$, $-\text{C}(=\text{O})\text{NH}_2$, $-\text{C}(=\text{O})\text{NH}(C_{1-6})$ alkyl,
- 25 $-\text{C}(=\text{O})\text{N}((C_{1-6})\text{alkyl})_2$, $-\text{NH}_2$, $-\text{NH}(C_{1-6})$ alkyl, $-\text{N}((C_{1-6})\text{alkyl})_2$, aryl, and aryl- (C_{1-6}) alkyl-, wherein R^{30} is H, (C_{1-6}) alkyl, aryl, or aryl- $\text{KC}^{\wedge}\text{alkyl}$;
- R^5 is selected from B, $\text{B}-\text{C}(=\text{O})$ -, $\text{B}-\text{O}-\text{C}(=\text{O})$ -, $\text{B}-\text{N}(\text{R}^{51})-\text{C}(=\text{O})$ -, $\text{B}-\text{N}(\text{R}^{51})-\text{C}(=\text{S})$ -, $\text{B}-\text{SO}_2$ - and $\text{B}-\text{N}(\text{R}^{51})-\text{SO}_2$ -; wherein B is selected from:
- 30 (i) (C_{1-10}) alkyl optionally substituted with one or more substituents each selected independently from $-\text{COOH}$, $-\text{COO}(C_{1-6})$ alkyl, $-\text{OH}$, halogen, $-\text{OC}(=\text{O})(C_{1-6})$ alkyl, $-\text{O}(C_{1-6})$ alkyl, $-\text{NH}_2$, $-\text{NH}(C_{1-6})$ alkyl, $-\text{N}((C_{1-6})\text{alkyl})_2$, $-\text{C}(=\text{O})\text{NH}_2$, $-\text{C}(=\text{O})\text{NH}(C_{1-6})$ alkyl and $-\text{C}(=\text{O})\text{N}((C_{1-6})\text{alkyl})_2$;

- (ii) (C₃₋₇)cycloalkyl, or (C₃₋₇)cycloalkyl-(C₁₋₆)alkyl-, each optionally substituted with one or more substituents each selected independently from (C₁₋₆)alkyl, halogen, -COOH, -COOCuOalkyl, -OH, -O(C₁₋₆)alkyl, -NH₂, -NH(C₁₋₆)alkyl, -N((C₁₋₆)alkyl)₂, -C(=O)NH₂, -C(=O)NH(C₁₋₆)alkyl and -C(=O)N((C₁₋₆)alkyl)₂;
- (iii) aryl or aryl(C₁₋₆)alkyl-, each optionally substituted with one or more substituents each selected independently from (C₁₋₆)alkyl, -OH, -NH₂, -NH(C₁₋₆)alkyl, -N((C₁₋₆)alkyl)₂, -C(=O)NH₂, -C(=O)NH(C₁₋₆)alkyl and -C(=O)N((C₁₋₆)alkyl)₂;
- (iv) **Het** or Het-(C₁₋₆)alkyl-, each optionally substituted with one or more substituents each selected independently from (C₁₋₆)alkyl, -OH, -NH₂, -NH(C₁₋₆)alkyl, -N((C₁₋₆)alkyl)₂, -C(=O)NH₂, -C(=O)NH(C₁₋₆)alkyl and -C(=O)N((C₁₋₆)alkyl)₂; and
- (v) (C₂₋₆)alkenyl, or (C₂₋₆)alkynyl, each optionally substituted with 1 to 3 halogens; and wherein
- R⁵¹ is selected from H and (C₁₋₆)alkyl;
- Y is H or (C₁₋₆)alkyl;
- R⁴ and R⁶ are each independently selected from H, (C₁₋₆)alkyl, -O-(C₁₋₆)alkyl, (C₃₋₇)cycloalkyl, (C₃₋₇)cycloalkyl-(C₁₋₆)alkyl-, aryl, **Het**, and aryl-(C₁₋₆)alkyl-; wherein said (C₁₋₆)alkyl, -O-(C₁₋₆)alkyl, (C₃₋₇)cycloalkyl, (C₃₋₇)cycloalkyl-(C₁₋₆)alkyl-, aryl and aryl-(C₁₋₆)alkyl- are each optionally substituted with one or more substituents each independently selected from halogen, (C₁₋₆)alkyl, hydroxy, cyano, O-(C₁₋₆)alkyl, -NH₂, -NH(C₁₋₄)alkyl, -N((C₁₋₄)alkyl)₂, -CO-NH₂, -CO-NH(C[^])alkyl, -CO-N((C₁₋₄)alkyl)₂, -COOH, and -COO(C₁₋₆)alkyl; or
- R⁴ and R⁶ are linked, together with the nitrogen to which they are bonded, to form a 3- to 7-membered monocyclic saturated or unsaturated heterocycle optionally fused to at least one other cycle to form a heteropolycycle, each of said heterocycle and heteropolycycle optionally containing from one to three additional heteroatoms each independently selected from N, S and O, and each of said heterocycle and heteropolycycle being optionally substituted with one or more substituents each independently selected from halogen, (C₁₋₆)alkyl,

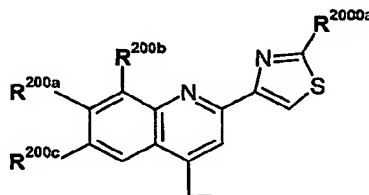
hydroxy, cyano, $O-(C_{1-6})alkyl$, $-NH_2$, $-NH(C_{1-4})alkyl$, $-N((C_{1-4})alkyl)_2$,
 $-CO-NH_2$, $-CO-NH(C_{1-4})alkyl$, $-CO-N((C_{1-4})alkyl)_2$, $-COOH$, and
 $-COO(C_{1-6})alkyl$;

with the proviso that when:

- 5 R^5 is $B-O-C(=O)-$ or $B-N(R^{51})-C(=O)-$, wherein
 R^{51} is H; and
 B is selected from $(C_{1-10})alkyl$, $(C_{3-7})cycloalkyl$, and
 $(C_{3-7})cycloalkyl-(C_{1-4})alkyl$,
 10 a) wherein said alkyl, cycloalkyl, and cycloalkyl-alkyl are optionally
 mono-, di- or tri-substituted with $(C_{1-3})alkyl$; and
 b) wherein said alkyl, cycloalkyl, and cycloalkyl-alkyl are optionally
 mono- or di-substituted with substituents selected from hydroxy and
 $O-(C_{1-4})alkyl$; and
 15 c) wherein each of said alkyl groups may be mono-, di- or tri-
 substituted with halogen; and
 d) wherein in each of said cycloalkyl groups being 4-, 5-, 6- or
 7-membered, one (for the 4-, 5-, 6-, or 7-membered) or two (for the
 5-, 6- or 7-membered) $-CH_2-$ groups not directly linked to each other
 may be replaced by $-O-$ to provide a heterocycle, such that the O -
 20 atom is linked to the $-O-C(=O)$ or $-N(R^{51})-C(=O)$ group via at least
 two carbon atoms; and

R^2 is $O-R^{20}$; then

R^{20} cannot be



25 wherein

R^{200a} is H, halogen, $(C_{1-4})alkyl$, $-OH$, $-O-(C_{1-4})alkyl$, $-NH_2$, $-NH(C_{1-4})alkyl$
 or $-N((C_{1-4})alkyl)_2$;

R^{200b} , R^{200c} are each independently halogen, cyano, $(C_{1-4})alkyl$,
 $-O-(C_{1-4})alkyl$, $-S-(C_{1-4})alkyl$, $-SO-(C_{1-4})alkyl$, or $-SO_2-(C_{1-4})alkyl$,
 30 wherein each of said alkyl groups is optionally substituted with

R^{200a} and R^{200b} or

5

carbocyclic ring wherein one or two -CH₂-groups not being

independently by -O- or NR^a wherein R^a is H or (C₁₋₄)alkyl, and

10

$$R^{2000a} \text{ is } R^{2003}, N(RaO_2)C_0 R^{2003-1-N(R2O_2)COO} R^{2003}, -N(R^{2002})(R^{2004}), \text{ or } -N(R^{2002})CON(R^{2002})(R^{2004}), \text{ wherein}$$

R²⁰⁰³ is (C₁₋₈)alkyl, (C₃₋₇)cycloalkyl or (C₃₋₇)cycloalkyl-(C₁)alkyl-,

wherein said (C₃₋₇)cycloalkyl and (C₃₋₇)cycloalkyl-(C₁₋₄)alkyl- are optionally mono-, di-, or tri-substituted with (C₁₋₃)alkyl; and

R²⁰⁰⁴ is H or R²⁰⁰³:

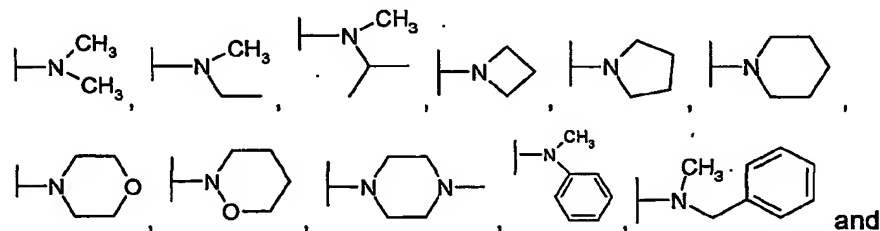
and with the further proviso that when:


R⁵ is B-O-C(=O)- and B is selected from methyl and 1,1-dimethylethyl; and

R³ is 1,1-dimethylethyl; and

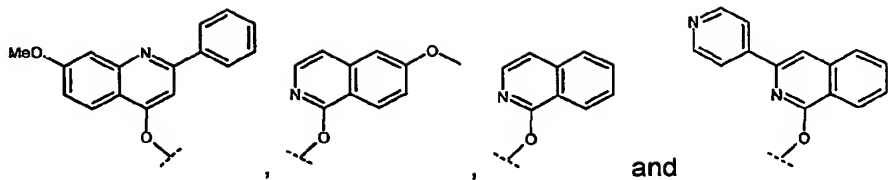
R¹ is ethenyl; and

the group $-N(R^4)R^6$ is selected from:



 : then

R² is not selected from:



wherein Het is defined as a 3- to 7-membered heterocycle having 1 to 4 heteroatoms each independently selected from O, N and S, which may be saturated, unsaturated or aromatic, and which is optionally fused to at least one other cycle to form a 4- to 14-membered heteropolycycle having wherever possible 1 to 5 heteroatoms, each independently selected from O, N and S, said heteropolycycle being saturated, unsaturated or aromatic; or a diastereomer thereof or a salt thereof.

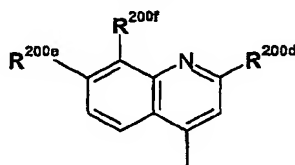
3. The compound according to one or more of the preceding claims wherein R^5 is selected from B-C(O)-, B-O-C(O)-, and B-N(R^{51})-C(=O)-; wherein B and R^{51} are defined as in claim 1.
4. The compound according to claim 3 wherein R^{51} is H and B is selected from:
 - (i) (C_{1-7}) alkyl optionally substituted with one or two or three substituents each independently selected from fluoro, chloro, bromo, hydroxy, methoxy and ethoxy; or optionally substituted with $-COOCH_3$;
 - (ii) (C_{3-7}) cycloalkyl, or $(Cs-^A$ cycloalkyl-methyl-, each optionally substituted with one or two substituents each independently selected from methyl, ethyl, hydroxy, methoxy and ethoxy;
 - (iii) benzyl; and
 - (iv) Het, wherein Het comprises a 3-, 4-, 5-, 6-, or 7-membered heterocycle having one to four heteroatoms each independently selected from O, N, and S, which may be saturated or unsaturated or aromatic.
5. The compound according to one or more of the preceding claims wherein Y is H.
6. The compound according to one or more of the preceding claims wherein R^3 is (C_{1-8}) alkyl or (C_{3-7}) cycloalkyl, the (C_{1-8}) alkyl being optionally substituted with

hydroxy, (C₁₋₆)alkoxy or -C(=O)OR³⁰, wherein R³⁰ is (C₁₋₆)alkyl or aryl(C₁₋₆)alkyl-.

7. The compound according to one or more of the preceding claims wherein R² is selected from -O-R²⁰, -S-R²⁰, and -O-X-R²⁰, wherein R²⁰ and X are defined as in claim 1.

8. The compound according to claim 7 wherein R² is -O-X-R²⁰, wherein X is (C₃)alkynyl and R²⁰ is (C₆ or C₁₀)aryl.

9. The compound according to claim 7 wherein R² is -O-R²⁰, wherein R²⁰ is



wherein

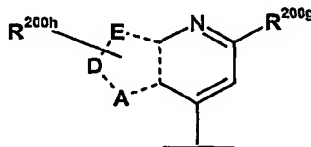
R^{200d} is -O-R²⁰¹, wherein R²⁰¹ is (C₁₋₆)alkyl;

R^{200a} is H or -OR²⁰¹, wherein R²⁰¹ is (C₁₋₆)alkyl; and

R^{200f} is (C₁₋₆)alkyl, halogen, -SR²⁰¹, -SO₂R²⁰¹, or -OR²⁰¹, wherein R²⁰¹ is (C₁₋₆)alkyl optionally further substituted with (C₃₋₇)cycloalkyl or phenyl.

10. The compound according to claim 9 wherein R^{200d} is -OR²⁰¹ wherein R²⁰¹ is ethyl.

11. The compound according to claim 7 wherein R² is -O-R²⁰, wherein R²⁰ is



wherein

one of A, D, and E represents a S atom and the other two of A, D, and E represent C atoms;

— represents a single bond between a C atom and an S atom, and

represents a single bond or a double bond between two C atoms; provided

that each C atom is bonded by one double bond;

R^{200g} is H or -OR²⁰¹, wherein R²⁰¹ is (C₁₋₆)alkyl or (C₂₋₆)alkenyl; and

R^{200h} is one or two substituents each independently selected from H, cyano, (C₁₋₆)alkyl and -SO₂-(C₁₋₆)alkyl; wherein each R^{200h} is bonded to a C atom

5 which would otherwise bear a hydrogen atom.

12. The compound according to one or more of the preceding claims wherein n is 1.

10 13. The compound according to one or more of the preceding claims wherein R¹ is (C₂₋₆)alkenyl or (C₂₋₆)alkyl.

14. The compound according to one or more of the preceding claims wherein m is 2.

15

15. The compound according to one or more of the preceding claims wherein:

20 (i) R⁴ and R⁶ are each independently selected from H, (C₁₋₆)alkyl, -O-(C₁₋₆)alkyl, (C₃₋₇)cycloalkyl, (C₃₋₇)cycloalkyl-(C₁₋₆)alkyl-, aryl and aryl-(C₁₋₆)alkyl-; wherein said (C₁₋₆)alkyl, -O-(C₁₋₆)alkyl, (C₃₋₇)cycloalkyl, (C₃₋₇)cycloalkyl-(C₁₋₆)alkyl-, aryl and aryl-(C₁₋₆)alkyl- are each optionally substituted with one to three substituents each independently selected from halogen, (C₁₋₆)alkyl, hydroxy, cyano, O-(C₁₋₆)alkyl, -COOH, and -COO(C₁₋₆)alkyl; or

25 (ii) R⁴ and R⁶ are linked, together with the nitrogen to which they are bonded, to form a 3- to 7-membered monocyclic saturated or unsaturated heterocycle, said heterocycle optionally containing from one to three additional heteroatoms each independently selected from N, S and O, and said 3- to 7-membered monocyclic saturated or unsaturated heterocycle being optionally substituted with one to three substituents each independently selected from halogen, (C₁₋₆)alkyl, hydroxy, cyano, O-(C₁₋₆)alkyl, -NH₂, -NH(C₁₋₄)alkyl, -N((C₁₋₄)alkyl)₂, -COOH, and -COO(C₁₋₆)alkyl.

30

16. The compound according to claim 1 wherein:
- n is 1 or 2;
- m is 1 or 2;
- R¹ is H, (C₁₋₆)alkyl, (C₂₋₆)alkenyl, or (C₂₋₆)alkynyl, wherein said (C₁₋₆)alkyl, (C₂₋₆)alkenyl, or (C₂₋₆)alkynyl are optionally substituted with from one to three halogen atoms;
- R² is selected from -CH₂-R²⁰, -NH-R²⁰, -O-R²⁰, -S-R²⁰, -SO-R²⁰, -SO₂-R²⁰, -CH₂O-R²⁰, and -O-X-R²⁰, wherein
- X is (C₂₋₃)alkenyl, (C₂₋₃)alkynyl, or (C₁₋₃)alkyl; and
- R²⁰ is (C₆ or C₁₀)aryl or Het, wherein said (C₆ or C₁₀)aryl or Het is optionally mono-, di-, tri- or tetra-substituted with R²⁰⁰, wherein each R²⁰⁰ is independently selected from H, halogen, cyano, (C₁₋₆)alkyl, (C₃₋₇)cycloalkyl, aryl-(C₁₋₆)alkyl-, aryl, Het, oxo, thioxo, -OR²⁰¹, -SR²⁰¹, -SOR²⁰¹, -SO₂R²⁰¹, -N(R²⁰²)R²⁰¹, and -CON(R²⁰²)R²⁰¹; wherein each of said alkyl, cycloalkyl, aryl and Het is optionally further substituted with R²⁰⁰⁰;
- R²⁰¹ in each case is independently selected from H, (C₁₋₆)alkyl, aryl, -CO-(C₁₋₆)alkyl and -CO-O-(C₁₋₆)alkyl, wherein each of said alkyl and aryl is optionally further substituted with R²⁰⁰⁰;
- R²⁰² is H or (C₁₋₆)alkyl;
- R²⁰⁰⁰ is one to three substituents each independently selected from halogen, aryl, Het, -OR²⁰⁰¹, -SR²⁰⁰¹, -SOR²⁰⁰¹, -SO₂R²⁰⁰¹, cyano, -N(R²⁰⁰²XR²⁰⁰¹), and R²⁰⁰³, wherein said aryl and Het are optionally substituted with one, two or three substituents selected from (C₁₋₆)alkyl and -O-(C₁₋₆)alkyl;
- R²⁰⁰¹ in each case is independently selected from aryl, aryl-(C₁₋₆)alkyl-, -C(O)-R²⁰⁰³, -C(O)O-R²⁰⁰³, -CON(R²⁰⁰²XR²⁰⁰⁴) and R²⁰⁰⁴;
- R²⁰⁰² is H or (C₁₋₆)alkyl;
- R²⁰⁰³ is (C₁₋₆)alkyl, (C₃₋₇)cycloalkyl or (C₃₋₇)cycloalkyl-(C₁₋₄)alkyl-, wherein said (C₃₋₇)cycloalkyl and (C₃₋₇)cycloalkyl-(C₁₋₄)alkyl- are optionally mono-, di-, or tri-substituted with (C₁₋₃)alkyl; and
- R²⁰⁰⁴ is H or R²⁰⁰³;
- R³ is (C₁₋₆)alkyl, (C₃₋₇)cycloalkyl or (C₃₋₇)cycloalkyl-(C₁₋₃)alkyl-, each optionally substituted with one or more substituents independently

- selected from (C₁₋₆)alkyl, (C₂₋₆)alkenyl, halogen, cyano, -OR³⁰, -SR³⁰, -C(=O)OR³⁰, -C(=O)NH₂, -C(=O)NH(C₁₋₆)alkyl, C(=O)N((C₁₋₆)alkyl)₂, -NH₂, -NH(C₁₋₆)alkyl, -N((C₁₋₆)alkyl)₂, aryl, and aryl(C₁₋₆)alkyl-, wherein R³⁰ is H, (C₁₋₆)alkyl, aryl, or aryl(C₁₋₆)alkyl-;
- 5 R⁵ is selected from B, B-C(=O)-, B-O-C(=O)-, B-N(R⁵¹)-C(=O)-, B-N(R⁵¹)-C(=S)-, B-SO₂- and B-N(R⁵¹)-SO₂-; wherein B is selected from:
- 10 (i) (C_{i-io})alkyl optionally substituted with one or more substituents each selected independently from -COOH, -COO(C₁₋₆)alkyl, -OH, halogen, -OC(=O)(C₁₋₆)alkyl, -O(C₁₋₆)alkyl, -NH₂, -NH(C₁₋₆)alkyl, -N((C₁₋₆)alkyl)₂, -C(=O)NH₂, -C(=O)NH(C₁₋₆)alkyl and -C(=O)N((C₁₋₆)alkyl)₂;
- 15 (ii) (C₃₋₇)cycloalkyl, or (C₃₋₇)cycloalkyl-(C₁₋₄)alkyl-, each optionally substituted with one or more substituents each selected independently from (d₋₆)alkyl, halogen, -COOH, -COO(C_{i-6})alkyl, -OH, -O(C₁₋₆)alkyl, -NH₂, -NH(C₁₋₆)alkyl, -N((C₁₋₆)alkyl)₂, -C(=O)NH₂, -C(=O)NH(C₁₋₆)alkyl and C(=O)N((C₁₋₆)alkyl)₂;
- 20 (iii) aryl or aryl(C₁₋₆)alkyl-, each optionally substituted with one or more substituents each selected independently from (C₁₋₆)alkyl, -OH, -NH₂, -NH(C₁₋₆)alkyl, -N((C₁₋₆)alkyl)₂, -C(=O)NH₂, -C(=O)NH(C₁₋₆)alkyl and C(=O)N((C₁₋₆)alkyl)₂;
- 25 (iv) **Het** or Het-(C₁₋₆)alkyl-, each optionally substituted with one or more substituents each selected independently from (C₁₋₆)alkyl, -OH, -NH₂, -NH(C₁₋₆)alkyl, -N((C₁₋₆)alkyl)₂, -C(=O)NH₂, -C(=O)NH(C₁₋₆)alkyl and C(=O)N((C₁₋₆)alkyl)₂; and
- 30 (v) (C₂₋₆)alkenyl, or (C₂₋₆)alkynyl, each optionally substituted with 1 to 3 halogens; and wherein R⁵¹ is selected from H and (C₁₋₆)alkyl;
- Y is H or (C_{i-6})alkyl;
- R⁴ and R⁶ are each independently selected from H, (C₁₋₆)alkyl, (C₃₋₇)cycloalkyl, (C₃₋₇)cycloalkyl-(C_{i-6})alkyl-, aryl, **Het**, and aryl-(C₁₋₆)alkyl-; wherein said (C₁₋₆)alkyl, (C₃₋₇)cycloalkyl, (C₃₋₇)cycloalkyl-(C₁₋₆)alkyl-, aryl and aryl-(C₁₋₆)alkyl- are optionally substituted with one or more substituents

independently selected from halogen, (C₁₋₆)alkyl, hydroxy, cyano,
O-(C₁₋₆)alkyl, -NH₂, -NH(C₁₋₄)alkyl, -N((C₁₋₄)alkyl)₂, -CO-NH₂,
-CO-NH(C₁₋₄)alkyl, -CO-N((C₁₋₄)alkyl)₂, -COOH, and -COO(C₁₋₆)alkyl;
or

5 R⁴ and R⁶ are linked, together with the nitrogen to which they are bonded, to
form a 3- to 7-membered monocyclic saturated or unsaturated
heterocycle optionally fused to at least one other cycle to form a
heteropolycycle, said heterocycle and heteropolycycle optionally
10 containing from one to three further heteroatoms independently
selected from N, S and O, and said 3- to 7-membered monocyclic
saturated or unsaturated heterocycle being optionally substituted with
one or more substituents independently selected from halogen,
(C₁₋₆)alkyl, hydroxy, cyano, O-(C₁₋₆)alkyl, -NH₂, -NH(C₁₋₄)alkyl,
-N((C₁₋₄)alkyl)₂, -CO-NH₂, -CO-NH(C₁₋₄)alkyl, -CO-N((C₁₋₄)alkyl)₂,
15 -COOH, and -COO(C₁₋₆)alkyl;

with the proviso that when:

R⁵ is B-O-C(=O)- or B-N(R⁵¹)-C(=O)-, wherein

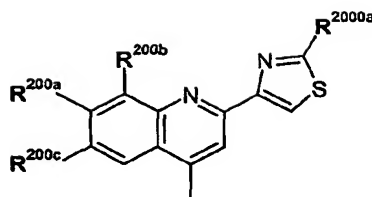
R⁵¹ is H; and

20 B is selected from (C₁₋₁₀)alkyl, (C₃₋₇)cycloalkyl, and
(C₃₋₇)cycloalkyl-(C₁₋₄)alkyl,

- a) wherein said alkyl, cycloalkyl, and cycloalkyl-alkyl are optionally
mono-, di- or tri-substituted with (C₁₋₃)alkyl; and
b) wherein said alkyl, cycloalkyl, and cycloalkyl-alkyl are optionally
mono- or di-substituted with substituents selected from hydroxy and
25 O-(C₁₋₄)alkyl; and
c) wherein each of said alkyl groups may be mono-, di- or tri-
substituted with halogen; and
d) wherein in each of said cycloalkyl groups being 4-, 5-, 6- or 7-
membered, one (for the 4-, 5-, 6-, or 7-membered) or two (for the 5-,
30 6- or 7-membered) -CH₂-groups not directly linked to each other
may be replaced by -O- to provide a heterocycle, such that the O-
atom is linked to the -O-C(=O) or -N(R⁵¹)-C(=O) group via at least
two carbon atoms; and

R² is O-R²⁰; then

R²⁰ cannot be



wherein

R^{200a} is H, halogen, (C₁₋₄)alkyl, -OH, -O-(C₁₋₄)alkyl, -NH₂, -NHCd^aalkyl
or -N((C₁₋₄)alkyl)₂;

R^{200b}, R^{200c} are each independently halogen, cyano, (C₁₋₄)alkyl,
-O-(C₁₋₄)alkyl, -S-(C₁₋₄)alkyl, -SO-(C₁₋₄)alkyl, or -SO₂-(C₁₋₄)alkyl,
wherein each of said alkyl groups is optionally substituted with
from one to three halogen atoms; and either R^{200b} or R^{200c} (but
not both at the same time) may also be H; or

R^{200a} and R^{200b} or

R^{200a} and R^{200c} may be covalently bonded to form, together with the two
C-atoms to which they are linked, a 5- or 6-membered
carbocyclic ring wherein one or two -CH₂-groups not being
directly linked to each other may be replaced each
independently by -O- or NR^a wherein R^a is H or (C₁₋₄)alkyl, and
wherein said carbo- or heterocyclic ring is optionally mono- or
di-substituted with (C₁₋₄)alkyl; and

R^{2000a} is R²⁰⁰³ -N(R²⁰⁰²)COR²⁰⁰³ -N(R²⁰⁰²)COOR²⁰⁰³ -N(R²⁰⁰²)(R²⁰⁰⁴), or
-N(R²⁰⁰²)CON(R²⁰⁰²)(R²⁰⁰⁴), wherein

R²⁰⁰² is H or methyl;

R²⁰⁰³ is (C₁₋₈)alkyl, (C₃₋₇)cycloalkyl or (C₃₋₇)cycloalkyl-(C₁₋₄)alkyl
wherein said (C₃₋₇)cycloalkyl and (C₃₋₇)cycloalkyl-(C₁₋₄)alkyl- are
optionally mono-, di-, or tri-substituted with (C₁₋₃)alkyl; and

R²⁰⁰⁴ is H or R²⁰⁰³;

wherein Het is defined as a 3- to 7-membered heterocycle having 1 to 4
heteroatoms each independently selected from O, N and S, which may be
saturated, unsaturated or aromatic, and which is optionally fused to at least
one other cycle to form a 4- to 14-membered heteropolycycle having wherever
possible 1 to 5 heteroatoms, each independently selected from O, N and S,

said heteropolycycle being saturated, unsaturated or aromatic;
or a diastereomer thereof or a salt thereof.

17. The compound according to claim 1 wherein:

5 R^5 is selected from $B-C(=O)-$, $B-O-C(=O)-$, and $B-NH-C(=O)-$; wherein B is selected from:

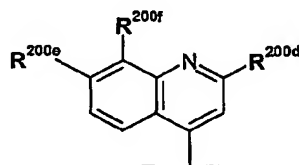
- (i) (C_{1-10}) alkyl optionally substituted with one or more substituents each selected independently from $-COOH$, $-COO(C_{1-6})$ alkyl, $-OH$, halogen, $-OC(=O)(C_{1-6})$ alkyl, $-O(C_{1-6})$ alkyl, $-NH_2$, $-NH(C_{1-6})$ alkyl, $-N((C_{1-6})alkyl)_2$, $-C(=O)NH_2$, $-C(=O)NH(C_{1-6})$ alkyl and $-C(=O)N((C_{1-6})alkyl)_2$;
- 10 (ii) (C_{3-7}) cycloalkyl, or (C_{3-7}) cycloalkyl- (C_{1-4}) alkyl-, each optionally substituted with one or more substituents each selected independently from (C_{1-6}) alkyl, halogen, $-COOH$, $-COO(C_{1-6})$ alkyl, $-OH$, $-O(C_{1-6})$ alkyl, $-NH_2$, $-NH(C_{1-6})$ alkyl, $-N((C_{1-6})alkyl)_2$, $-C(=O)NH_2$, $-C(=O)NH(C_{1-6})$ alkyl and $-C(=O)N((C_{1-6})alkyl)_2$;
- 15 (iii) aryl or aryl- (C_{1-6}) alkyl-, each optionally substituted with one or more substituents each selected independently from (C_{1-6}) alkyl, $-OH$, $-NH_2$, $-NH(C_{1-6})$ alkyl, $-N((C_{1-6})alkyl)_2$, $-C(=O)NH_2$, $-C(=O)NH(C_{1-6})$ alkyl and $-C(=O)N((C_{1-6})alkyl)_2$;
- 20 (iv) Het or Het- (C_{1-6}) alkyl-, each optionally substituted with one or more substituents each selected independently from (C_{1-6}) alkyl, $-OH$, $-NH_2$, $-NH(C_{1-6})$ alkyl, $-N((C_{1-6})alkyl)_2$, $-C(=O)NH_2$, $-C(=O)NH(C_{1-6})$ alkyl and $-C(=O)N((C_{1-6})alkyl)_2$;

Y is H;

25 R^3 is (C_{1-6}) alkyl or (C_{3-7}) cycloalkyl, each of which are optionally substituted with one or more substituents each independently selected from (C_{1-6}) alkyl, $-OR^{30}$, and $-C(=O)OR^{30}$, wherein R^{30} is H, (C_{1-6}) alkyl, or aryl- (C_{1-6}) alkyl-;

R^2 is $-O-X-R^{20}$, wherein X is (C_3) alkynyl and R^{20} is $(C_6$ or $C_{10})$ aryl; or

30 R^2 is $-O-R^{20}$ wherein R^{20} is



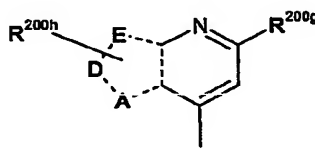
wherein

R^{200d} is $-OR^{201}$, wherein R^{201} is (C_{1-6}) alkyl;

R^{200e} is H or $-OR^{201}$, wherein R^{201} is (C_{1-6}) alkyl; and

R^{200f} is (C_{1-6}) alkyl, halogen, $-SR^{201}$, $-SO_2R^{201}$, or $-OR^{201}$, wherein R^{201} is (C_{1-6}) alkyl optionally further substituted with (C_{3-7}) cycloalkyl or phenyl;

or R^{20} is



wherein

one of A, D, and E represents a S atom and the other two of A, D, and E represent C atoms;

— represents a single bond between a C atom and an S atom, and

represents a single bond or a double bond between two C atoms;

provided that each C atom is bonded by one double bond;

R^{200g} is H or $-OR^{201}$, wherein R^{201} is (C_{1-6}) alkyl or (C_{2-6}) alkenyl; and

R^{200h} is one or two substituents each independently selected from H, cyano, (C_{1-6}) alkyl and $-SO_2(C_{1-6})$ alkyl; wherein each R^{200h} is bonded to a C atom which would otherwise bear a hydrogen atom;

R^1 is (C_{2-6}) alkenyl or (C_{2-6}) alkyl;

n is 1;

m is 2; and

R^4 and R^6 are each independently selected from H, (C_{1-6}) alkyl, $-O-(C_{1-6})$ alkyl,

(C_{3-7}) cycloalkyl, (C_{3-7}) cycloalkyl- (C_{1-6}) alkyl-, aryl and aryl- (C_{1-6}) alkyl-;

wherein said (C_{1-6}) alkyl, (C_{3-7}) cycloalkyl, (C_{3-7}) cycloalkyl- (C_{1-6}) alkyl-,

aryl and aryl- (C_{1-6}) alkyl- are optionally substituted with one to three

substituents independently selected from halogen, (C_{1-6}) alkyl, hydroxy,

cyano, $O-(C_{1-6})$ alkyl, $-COOH$, and $-COO(C_{1-6})$ alkyl; or

R^4 and R^6 are linked, together with the nitrogen to which they are bonded, to

form a 3- to 7-membered monocyclic saturated or unsaturated

heterocycle, said heterocycle optionally containing from one to three

further heteroatoms each independently selected from N, S and O, and

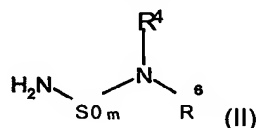
said 3- to 7-membered monocyclic saturated or unsaturated

heterocycle being optionally substituted with one to three substituents each independently selected from halogen, (C₁₋₆)alkyl, hydroxy, cyano, O-(C₁₋₆)alkyl, -NH₂, -NH(C₁₋₄)alkyl, -N((C₁₋₄)alkyl)₂, -COOH, and -COO(C₁₋₆)alkyl;

5 or a diastereomer thereof or a salt thereof.

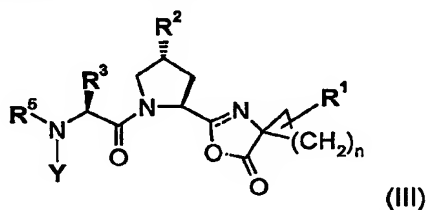
18. A pharmaceutical composition comprising an anti-hepatitis C virally effective amount of a compound according to one or more of claims 1 to 17, or a pharmaceutically acceptable salt thereof; and a pharmaceutically acceptable carrier medium or auxiliary agent.
- 10
19. The pharmaceutical composition according to claim 18 additionally comprising a therapeutically effective amount of at least one other antiviral agent.
- 15 20. A method of treating or preventing a hepatitis C viral infection in a mammal by administering to the mammal an anti-hepatitis C virally effective amount of a compound according to one or more of claims 1 to 17, a pharmaceutically acceptable salt thereof, or a composition thereof.
- 20 21. Use of a compound according to one or more of claims 1 to 17, or a pharmaceutically acceptable salt thereof, for the treatment or prevention of hepatitis C viral infection in a mammal.
22. Use of a compound according to one or more of claims 1 to 17, or a pharmaceutically acceptable salt thereof, for the manufacture of a medicament for the treatment or prevention of hepatitis C viral infection in a mammal.
- 25
23. A method of inhibiting the replication of hepatitis C virus by exposing the virus to a hepatitis C viral NS3 protease inhibiting amount of the compound according to one or more of claims 1 to 17, or a pharmaceutically acceptable salt thereof.
- 30

24. Use of a compound according to one or more of claims 1 to 17, or a pharmaceutically acceptable salt thereof, to inhibit the replication of hepatitis C virus.
- 5 25. An article of manufacture comprising a composition effective to treat an HCV infection or to inhibit the NS3 protease of HCV; and packaging material comprising a label which indicates that the composition can be used to treat infection by the hepatitis C virus; wherein the composition comprises a compound according to one or more of claims 1 to 17 or a pharmaceutically acceptable salt thereof.
- 10
26. A process for the preparation of a compound according to one or more of claims 1 to 17, comprising:
- a) reacting a compound of formula (II):



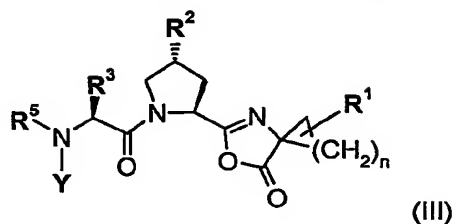
wherein R^4 , R^6 and m are defined as in claim 1, with a strong base so as to form the corresponding amide anion and

- b) reacting an azalactone of formula (III):



- 20 wherein R^1 , R^2 , R^3 , R^5 , Y and n are defined as in claim 1, with the amide anion formed in step a).

27. An azalactone intermediate compound of formula (III):



wherein R^1 , R^2 , R^3 , R^5 , Y and n are defined as in claim 1.

- 5 28. Use of the azalactone intermediate compound according to claim 27 in the preparation of an HCV NS3 protease inhibitor peptide analog.